

US-PAT-NO: 4004021
DOCUMENT-IDENTIFIER: US 4004021 A
TITLE: Cyclopentane derivatives

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Brief Summary Text - BSTX (1):

This invention relates to new cyclopentane derivatives, and in particular it relates to new cyclopentane derivatives which are analogues of the naturally occurring compounds known as prostaglandin F.sub.2 .alpha. and prostaglandin E.sub.2, showing a similar spectrum of pharmacological properties and being useful for similar purposes. The relative potency of the new compounds, however, in respect of the particular pharmacological effects shown is different from that of the above naturally occurring prostaglandins, and in particular they are more potent as luteolytic agents than the corresponding natural prostaglandins. That is to say, in general the prostaglandin F.sub.2 .alpha. analogues of the present invention are more potent than natural prostaglandin F.sub.2 .alpha., and the prostaglandin E.sub.2 analogues of the present invention are more potent than natural prostaglandin E.sub.2. The new compounds are, however, less potent as stimulants of uterine smooth muscle than the corresponding natural prostaglandins F.sub.2 .alpha. and E.sub.2, and are therefore more selective in respect of luteolytic activity than the natural prostaglandins. The new compounds are therefore advantageous when used as contraceptives, for the termination of pregnancy or for control of the oestrus

cycle, and are also useful as hypotensives or for the relief of bronchospasm, and as inhibitors of blood platelet aggregation or of gastric secretion. The new compounds of the invention are also useful for addition to semen intended for artificial insemination of domestic animals, the success rate of insemination being thereby increased, especially in pigs and cattle.

US-PAT-NO: 3953495
DOCUMENT-IDENTIFIER: US 3953495 A
TITLE: 16-Methylene PGE.sub.2

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Brief Summary Text - BSTX (50):

The new prostaglandin compounds of the present invention and their cyclodextrin clathrates and non-toxic salts, possess the valuable pharmacological properties typical of prostaglandins in a selective fashion including, in particular, luteolytic activity, stimulatory activity on uterine contraction and antinidatory activity in female mammals, hypotensive activity, inhibitory activity on gastric acid secretion and gastric ulceration, bronchodilator activity and stimulatory activity on intestinal contraction and are useful in the control of oestrus in female mammals, in particular in economically valuable domestic animals, e.g. ewes, mares and cows, for example, in order to facilitate artificial insemination, in the induction of labour in pregnant female mammals, including economically valuable domestic animals, e.g. ewes, mares, cows and sows, in the procurement of abortion in pregnant female mammals, in the prevention of pregnancy in female mammals, including bitches, in the treatment of hypertension, in the treatment of gastric ulceration, in the treatment of asthma, in the treatment of intestinal dyskinesia and post-operative intestinal paralysis and in the prevention and treatment of constipation. The compounds of this invention induce moderate cutaneous

inflammation upon topical application to the skin. This topical activity may be indicated in the management of chronically recurrent skin diseases which may respond to induced inflammation. In particular 16-methylene-PGF.sub.2.sub..alpha. is of value in the control of oestrus in female mammals and in the induction of labour in pregnant female mammals, 16-methylene-PGF.sub.2.sub..alpha. and 16-methylene-PGF.sub.2.sub..alpha. methyl ester are of value in the prevention of pregnancy in female mammals, 16-methylene-PGE.sub.2 methyl ester is of value in the prevention of pregnancy and in the control of oestrus in female mammals, and in the treatment of hypertension, gastric ulceration and asthma, and 16-methylene-PGF.sub.2.sub..alpha. and 16-methylene-PGE.sub.2 methyl ester are of value in the treatment of intestinal dyskinesia and post-operative intestinal paralysis and in the prevention and treatment of constipation. For example in laboratory screening tests:

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inflammation upon topical application to the skin. This topical activity may be indicated in the management of chronically recurrent skin diseases which may respond to induced inflammation. In particular 16-methylene-PGF.sub.2.sub..alpha. is of value in the control of oestrus in female mammals and in the induction of labour in pregnant female mammals, 16-methylene-PGF.sub.2.sub..alpha. and 16-methylene-PGF.sub.2.sub..alpha. methyl ester are of value in the prevention of pregnancy in female mammals, 16-methylene-PGE.sub.2 methyl ester is of value in the prevention of pregnancy and in the control of oestrus in female mammals, and in the treatment of hypertension, gastric ulceration and asthma, and 16-methylene-PGF.sub.2.sub..alpha. and 16-methylene-PGE.sub.2 methyl ester are of value in the treatment of intestinal dyskinesia and post-operative intestinal paralysis and in the prevention and treatment of constipation. For example in laboratory screening tests: